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NEWS 6 NOV 30 PHAR reloaded with additional data  
NEWS 7 DEC 01 LISA now available on STN  
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AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004

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FILE 'HOME' ENTERED AT 10:25:38 ON 14 DEC 2004

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

ENTRY

TOTAL

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 10:25:47 ON 14 DEC 2004

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Property values tagged with IC are from the ZIC/VINITI data file  
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Patel

<12/2/2004>

12/14/04

STRUCTURE FILE UPDATES: 13 DEC 2004 HIGHEST RN 796963-46-7  
DICTIONARY FILE UPDATES: 13 DEC 2004 HIGHEST RN 796963-46-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when  
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Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

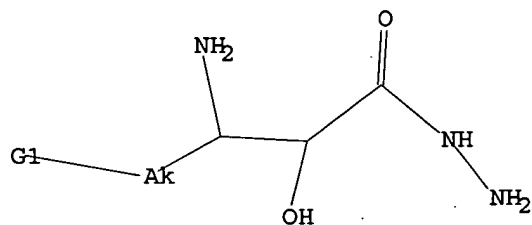
Uploading c:\program files\stnexp\queries\10782502.1

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 10:26:07 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 2952 TO ITERATE

100.0% PROCESSED 2952 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

L2 2 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.42

155.63

FILE 'CAPLUS' ENTERED AT 10:26:25 ON 14 DEC 2004

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FILE COVERS 1907 - 14 Dec 2004 VOL 141 ISS 25  
FILE LAST UPDATED: 13 Dec 2004 (20041213/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

L3 2 L2

=> d 13 fbib hitstr abs total

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:11099 CAPLUS

DN 136:69597

TI Synthesis of hydrazide and  $\alpha$ -alkoxyamide angiogenesis inhibitors

IN Craig, Richard A.; Kawai, Megumi; Lynch, Linda M.; Patel, Jyoti R.; Sheppard, George S.; Wang, Jieyi; Yang, Fan; Ba-Maung, Nwe

PA USA

SO U.S. Pat. Appl. Publ., 78 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002002152	A1	20020103	US 2001-833917	20010412
				US 2000-197262P	P 20000414
	US 2004167126	A1	20040826	US 2004-782502	20040219
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				US 2001-833917	A1 20010412

OS MARPAT 136:69597

IT 369355-85-1P 369358-14-5P

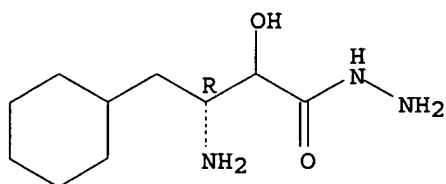
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; synthesis of hydrazide and  $\alpha$ -alkoxyamide angiogenesis inhibitors)

RN 369355-85-1 CAPLUS

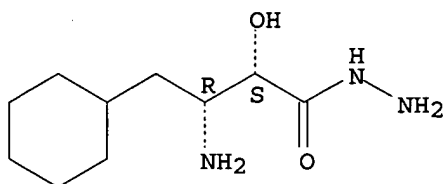
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Absolute stereochemistry.

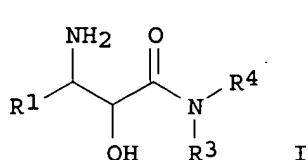


RN 369358-14-5 CAPLUS  
 CN Cyclohexanebutanoic acid,  $\beta$ -amino- $\alpha$ -hydroxy-, hydrazide,  
 ( $\alpha$ S, $\beta$ R) - (9CI) (CA INDEX NAME)

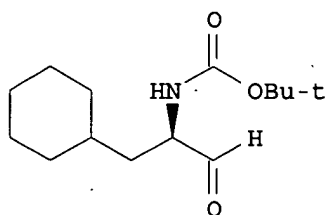
Absolute stereochemistry.



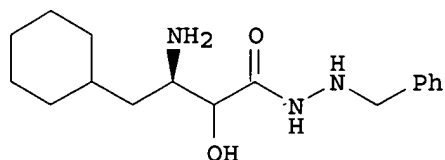
GI



I



II



III

AB Title compds. I [R1 = alkyl, aryl, arylalkyl, cycloalkyl, (cycloalkyl)alkyl, (heterocycle)alkyl, R5S-alkylene; R3 = H, alkyl, arylalkyl; R4 = NR6R7, OR8; R5 = alkyl, aryl, arylalkyl, cycloalkyl, (cycloalkyl)alkyl; R6-7 = H, alkanoyl, alkenyl, alkenyloxyalkyl, alkoxyalkyl, alkoxyalkylalkyl, alkyl, alkylthioalkyl, aryl, arylalkanoyl, etc.; or R6-7 together are arylalkylidene; or R6-7 together with the nitrogen atom to which they are attached, form a heterocycle; R8 = H, alkanoylalkyl, alkenyl, alkoxyalkylalkyl, alkyl, amidoalkyl, aryl, arylalkyl, etc.; R9-10 = H, alkyl, aryl] were prepared Over 450 synthetic examples were reported. For instance, (2R)-2-(Boc)amino-3-cyclohexylpropanoic acid was reduced to the corresponding alc. (PhMe,

Red-Al, 0°C, room temperature 1 h) and oxidized to II (DMSO, Py•SO<sub>3</sub>, Et<sub>3</sub>N, room temperature 30 min). II was converted to the bisulfite addition product

(H<sub>2</sub>O, NaHSO<sub>3</sub>, 5°C, 24 h) and reacted with KCN to give the α-hydroxy nitrile intermediate which was hydrolyzed to the carboxylic acid (12 N HCl, reflux, 21 h) and converted to III by condensation with benzylhydrazine (DCM/DMA, DIC, NMM, HOBT). Selected compds. I had IC<sub>50</sub> < 0.1 μM for MetAP2. I are useful for inhibiting angiogenesis.

L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:780840 CAPLUS

DN 135:331197

TI Synthesis of hydrazide and α-alkoxyamide angiogenesis inhibitors

IN Craig, Richard A.; Kawai, Megumi; Lynch, Linda M.; Patel, Jyoti R.; Sheppard, George S.; Wang, Jieyi; Yang, Fan; Ba-Maung, Nwe Y.

PA Abbott Laboratories, USA

SO PCT Int. Appl., 173 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001079157	A1	20011025	WO 2001-US12274	20010413
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 2000-549995	A 20000414
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				WO 2001-US12274	W 20010413
	EP 1272456	A1	20030108	EP 2001-925029	20010413
	EP 1272456	B1	20041027		
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				US 2001-813008	A 20010321
				WO 2001-US12274	W 20010413
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				US 2001-813008	A 20010321
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	AT 280753	E	20041115	AT 2001-925029	20010413
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OS MARPAT 135:331197

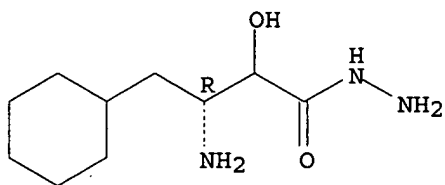
IT 369355-85-1P 369358-14-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(drug; synthesis of hydrazide and  $\alpha$ -alkoxyamide angiogenesis inhibitors)

RN 369355-85-1 CAPLUS

CN Cyclohexanebutanoic acid,  $\beta$ -amino- $\alpha$ -hydroxy-, hydrazide,  
( $\beta$ R) - (9CI) (CA INDEX NAME)

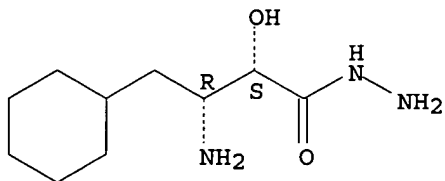
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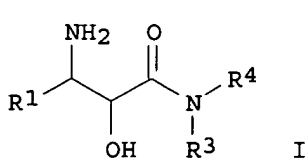
RN 369358-14-5 CAPLUS

CN Cyclohexanebutanoic acid,  $\beta$ -amino- $\alpha$ -hydroxy-, hydrazide,  
( $\alpha$ S, $\beta$ R) - (9CI) (CA INDEX NAME)

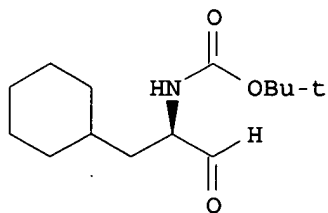
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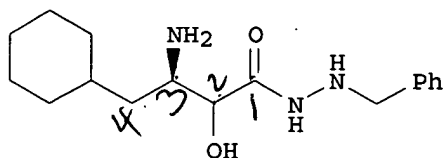
GI



I



II



III

AB Title compds. I [R1 = alkyl, aryl, arylalkyl, cycloalkyl, (cycloalkyl)alkyl, (heterocycle)alkyl, R5S-alkylene; R3 = H, alkyl, arylalkyl; R4 = NR6R7, OR8; R5 = alkyl, aryl, arylalkyl, cycloalkyl, (cycloalkyl)alkyl; R6-7 = H, alkanoyl, alkenyl, alkenyloxyalkyl, alkoxyalkyl, alkoxycarbonylalkyl, alkyl, alkylthioalkyl, aryl, arylalkanoyl, etc.; or R6-7 together are arylalkylidene; or R6-7 together with the nitrogen atom to which they are attached, form a heterocycle; R8 = H, alkanoylalkyl, alkenyl, alkoxycarbonylalkyl, alkyl, amidoalkyl, aryl, arylalkyl, etc.; R9-10 = H, alkyl, aryl] were prepared Over 450 synthetic examples were reported. For instance, (2R)-2-(Boc)amino-3-cyclohexylpropanoic acid was reduced to the corresponding alc. (PhMe, Red-Al, 0°C, room temperature 1 h) and oxidized to II (DMSO, Py•SO3, Et3N, room temperature 30 min). II was converted to the bisulfite addition product

(H2O, NaHSO3, 5°C, 24 h) and reacted with KCN to give the α-hydroxy nitrile intermediate which was hydrolyzed to the carboxylic acid (12 N HCl, reflux, 21 h) and converted to III by condensation with benzylhydrazine (DCM/DMA, DIC, NMM, HOBT). Selected compds. I had IC50 < 0.1 μM for MetAP2. I are useful for inhibiting angiogenesis.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 10:25:38 ON 14 DEC 2004)

FILE 'REGISTRY' ENTERED AT 10:25:47 ON 14 DEC 2004

L1 STRUCTURE UPLOADED  
L2 2 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:26:25 ON 14 DEC 2004

L3 2 S L2

=> s l3 and preventing and angiogenesis

L4 0 L3 AND PREVENTING AND ANGIOGENESIS

=> s angiogenesis and preventing and prevention

L5 83 ANGIOGENESIS AND PREVENTING AND PREVENTION

=> s l5 and hydrazide

L6 1 L5 AND HYDRAZIDE

=> d l6 fbib hitstr abs total

L6 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:793619 CAPLUS

DN 137:294870

TI Preparation of prodrugs of 3-(pyrrol-2-ylmethylidene)-2-indolinones and activity as modulators of protein kinases

IN Sun, Connie Li; Wei, Chung Chen; Tang, Peng Cho; Koenig, Marcel; Zhou, Yong; Vojkovsky, Tomas; Nematalla, Asaad S.

PA Sugan, Inc., USA

SO PCT Int. Appl., 194 pp.

CODEN: PIXXD2

DT Patent

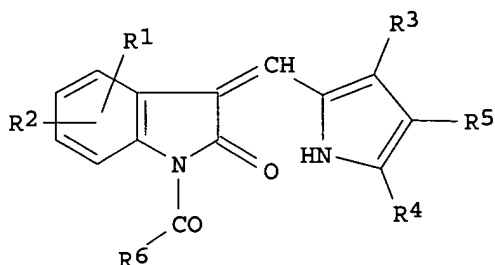
LA English

## FAN.CNT 1

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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003100555	A1	20030529	US 2001-282630P	P 20010409
	US 6797725	B2	20040928	US 2002-118321	20020409
	US 2004186161	A1	20040923	US 2001-282630P	P 20010409
				US 2004-816957	20040405
				US 2001-282630P	P 20010409
				US 2002-118321	A3 20020409

OS MARPAT 137:294870

GI



I

AB The present invention relates to pyrrole substituted 2-indolinone compds. (shown as I; e.g. 3-[1-(3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-2-oxo-2,3-dihydroindole-1-carbonyl chloride) and their pharmaceutically acceptable salts which modulate the activity of protein kinases and therefore are expected to be useful in the **prevention** and treatment of protein kinase related cellular disorders such as cancer (no data). In I, R1 and R2 are independently H, halo, alkyl, alkylthio, nitro, trihalomethyl, hydroxy, hydroxyalkyl, alkoxy, cyano, aryl, heteroaryl, -C(O)R7 (R7 is alkyl, amino, hydroxy, alkoxy, aryl, heteroaryl, aryloxy, heteroaryloxy, heterocycle, and aminoalkylamino), -NR8R9, -NR8C(O)R9, -SO2R8, and -S(O)2NR8R9 (R8 and R9 are independently H, alkyl, aryl and heteroaryl, or R8 and R9 together with the N to which they are attached form a saturated heterocycloamino). R3 is H, alkyl, hydroxyalkyl, aminoalkyl, -C(O)R7, aryl, and heteroaryl; R4 is H, alkyl, -C(O)R7 aryl, and heteroaryl; R5 is H and -COR10 where R10 is alkyl, alkoxy, hydroxy, aryl, aryloxy, heteroaryl, heterocycle, alkylamino, dialkylamino, or -NR11R12 where R11 is H or alkyl, and R12 is aminoalkyl, hydroxyalkyl, acetylalkyl, cyanoalkyl, carboxyalkyl, alkoxycarbonylalkyl, heteroaralkyl, or heterocyclylalkyl wherein the alkyl chain in aminoalkyl, heteroaralkyl, heteroaralkyl, or heterocyclylalkyl is optionally substituted with one or two hydroxy group(s); or R4 and R5 together form -



(CH<sub>2</sub>)<sub>4</sub>- or -(CH<sub>2</sub>)<sub>m</sub>CO(CH<sub>2</sub>)<sub>n</sub>- wherein n is 0 to 3, provided that n+m is 3. R<sub>6</sub> is: (c) -OR<sub>13</sub> wherein R<sub>13</sub> is alkyl, trifluoromethyl, carboxyalkyl, aminoalkyl, phosphonooxyalkyl, sulfooxyalkyl, hydroxyalkyl, alkoxyalkyl, aryl, heteroaryl, heteroaralkyl, heterocyclyl, monosaccharides and heterocyclylalkyl wherein the alkyl chain in carboxyalkyl, aminoalkyl, phosphonooxyalkyl, sulfooxyalkyl, heteroaralkyl, heterocyclylalkyl, hydroxyalkyl, or alkoxyalkyl is optionally substituted with one or two hydroxy group(s) and further wherein one or two C atoms in said alkyl chain are optionally replaced by O, -NR<sub>14</sub>- (R<sub>14</sub> is H or alkyl), -S-, or -SO<sub>2</sub>-; or. (d) -NR<sub>15</sub>R<sub>16</sub> where R<sub>15</sub> and R<sub>16</sub> are independently H, alkyl, carboxyalkyl, alkoxyalkyl, aminoalkyl, phosphonooxyalkyl, sulfooxyalkyl, hydroxyalkyl, aryl, heteroaryl, heteroaralkyl, and heterocyclylalkyl; wherein the alkyl chain in carboxyalkyl, aminoalkyl, phosphonooxyalkyl, heteroaralkyl, heterocyclylalkyl, hydroxyalkyl, or alkoxyalkyl is optionally substituted with one or two hydroxy group(s) and further wherein one or two C atoms in the alkyl chain are optionally replaced by O, -NR<sub>17</sub>- (R<sub>17</sub> is H or alkyl), -S-, or -SO<sub>2</sub>-; or R<sub>15</sub> and R<sub>16</sub> together with the N atom to which they are attached form saturated or unsatd. heterocycloamino;. Although the methods of preparation are not claimed, >80 example preps. are included, both of I and the unprotected version of I in which the C(O)R<sub>6</sub> group has been replaced by H.

RE.CNT 3      THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT